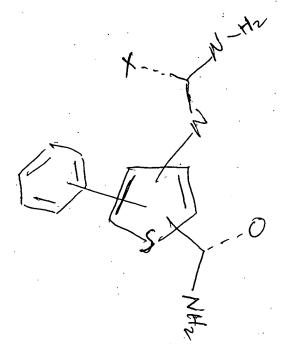
9/868,884 Query



X = 0, S

See also attached claims 148

Applicant: Andrew Baxter et al. Attorney's Docket No.: 06275-233001 / Z70663-1P US

Serial No.: 09/868,884

Filed: February 5, 2002

Page : 2 of 17

## Amendments to the Claims:

This listing of claims replaces all prior versions and listings of claims in the application:

## Listing of Claims:

1. (Previously presented) A compound of formula (I)

## A represents thiophene;

R<sup>1</sup> represents a phenyl group; said phenyl being optionally substituted by one or more substituents selected independently from halogen, cyano, nitro, -NR<sup>3</sup>R<sup>4</sup>, -CONR<sup>5</sup>R<sup>6</sup>, -COOR<sup>7</sup>, -NR<sup>8</sup>COR<sup>9</sup>, -SR<sup>10</sup>, -S(O)<sub>m</sub>R<sup>10</sup>, -S(O)<sub>2</sub>NR<sup>5</sup>R<sup>6</sup>, -NR<sup>8</sup>SO<sub>2</sub>R<sup>10</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, trifluoromethyl, -(CH<sub>2</sub>)<sub>n</sub>R<sup>11</sup>, -O(CH<sub>2</sub>)<sub>n</sub>R<sup>11</sup> or -OR<sup>12</sup>;

 $R^2$  represents hydrogen, halogen, cyano, nitro, -NR<sup>13</sup>R<sup>14</sup>, -CONR<sup>15</sup>R<sup>16</sup>, -COOR<sup>17</sup>, -NR<sup>18</sup>COR<sup>19</sup>, -S(O)<sub>m</sub>R<sup>20</sup>, -S(O)<sub>2</sub>NR<sup>15</sup>R<sup>16</sup>, -NR<sup>18</sup>SO<sub>2</sub>R<sup>20</sup>, C<sub>1</sub>-C<sub>2</sub> alkyl, trifluoromethyl, C<sub>2</sub>-C<sub>3</sub> alkenyl, C<sub>2</sub>-C<sub>3</sub> alkynyl, trifluoromethoxy, C<sub>1</sub>-C<sub>2</sub> alkoxy or C<sub>1</sub>-C<sub>2</sub> alkanoyl;

X represents oxygen or sulfur;

each of  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^7$ ,  $R^8$ ,  $R^9$ ,  $R^{10}$  and  $R^{12}$  independently represent a hydrogen atom or  $C_1$ - $C_6$  alkyl;

Attorney's Docket No.: 06275-233001 / 270663-1P US

· Applicant : Andrew Baxter et al.

Serial No.: 09/868,884 Filed: February 5, 2002

Page : 3 of 17

 $R^{11}$  represents  $NR^{21}R^{22}$  where  $R^{21}$  and  $R^{22}$  are independently hydrogen or  $C_1$ - $C_6$  alkyl optionally substituted by  $C_1$ - $C_4$  alkoxy; or  $R^{21}$  and  $R^{22}$  together with the nitrogen atom to which they are attached form a 5- or 6-membered saturated ring optionally containing a further O, S or  $NR^{23}$  group where  $R^{23}$  is hydrogen or  $C_1$ - $C_6$  alkyl; or  $R^{11}$  represents  $OR^{24}$  where  $R^{24}$  represents  $C_1$ - $C_6$  alkyl;

each of R<sup>13</sup>, R<sup>14</sup>, R<sup>15</sup>, R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup>, R<sup>19</sup> and R<sup>20</sup> independently represent a hydrogen atom or C<sub>1</sub>-C<sub>2</sub> alkyl;

m represents an integer 0, 1 or 2;

n represents an integer 2, 3 or 4;

and optical isomers, racemates, and tautomers thereof and pharmaceutically acceptable salts or solvates thereof:

provided that:

when A represents thiophene, then R<sup>1</sup> is not 4-pyridinyl or 3-pyrazolyl.

- 2. (Original) A compound of formula (I), according to Claim 1, wherein X represents oxygen.
- 3. (Previously presented) A compound of formula (I), according to Claim 1, in which the group A is substituted as shown below in formula (Ia), where B and D are selected from  $CR^2$  and S, where  $R^2$  is as defined in Claim 1 and  $R^{25}$  is hydrogen or  $C_1$ - $C_6$  alkyl:

$$X = \begin{array}{c} NH_2 \\ NH \\ R^1 \\ D \\ NH_2 \end{array}$$
 (la)

4. (Cancelled)

Applicant: Andrew Baxter et al. Attorney's Docket No.: 06275-233001 / Z70663-1P US

Serial No.: 09/868,884
Filed: February 5, 2002

Page : 4 of 17

5. (Cancelled)

6. (Previously presented) A compound according to claim 1 in which R<sup>2</sup> represents H or methyl.

- 7. (Original) A compound according to Claim 6 in which R<sup>2</sup> represents H.
- 8. (Original) A compound of formula (I), according to claim 1, selected from:
  - -3-[(aminocarbonyl)amino]-5-phenyl-2-thiophenecarboxamide;
  - 3-[(aminocarbonyl)amino]-5-(3-chlorophenyl)-2-thiophenecarboxamide;
  - 3-[(aminocarbonyl)amino]-5-(4-fluorophenyl)-2-thiophenecarboxamide;
  - 3-[(aminocarbonyl)amino]-5-(4-chlorophenyl)-2-thiophenecarboxamide;
  - 3-[(aminocarbonyl)amino]-5-(4-isobutylphenyl)-2-thiophenecarboxamide;
  - 3-[(aminocarbonyl)amino]-5-(4-methoxyphenyl)-2-thiophenecarboxamide;
  - 3-[(aminocarbonyl)amino]-5-(3-hydroxyphenyl)-2-thiophenecarboxamide;
  - 3-[(aminocarbonyl)amino]-5-(2-chlorophenyl)-2-thiophenecarboxamide;
  - 3-[(aminocarbonyl)amino]-5-(2-methoxyphenyl)-2-thiophenecarboxamide;
  - 3-[(aminocarbonyl)amino]-5-{2-[2-(dimethylamino)ethoxy]phenyl}-2-thiophenecarboxamide;
  - 3-[(aminocarbonyl)amino]-5-{4-[2-(dimethylamino)ethoxy]phenyl}-2-thiophenecarboxamide;
  - 3-[(aminocarbonyl)amino]-5-(3-methoxyphenyl)-2-thiophenecarboxamide;
  - 2-[(aminocarbonyl)amino]-5-phenyl-3-thiophenecarboxamide;
  - 3-[(aminocarbonyl)amino]-5-{4-[2-(1-morpholinyl)ethoxy]phenyl}-2-thiophenecarboxamide;
  - 3-[(aminocarbonyl)amino]-5-{4-[2-(1-pyrrolidinyl)ethoxy]phenyl}-2-thiophenecarboxamide;

· Applicant: Andrew Baxter et al. Attorney's Docket No.: 06275-233001 / Z70663-1P US

Serial No.: 09/868,884 Filed: February 5, 2002

Page : 5 of 17

3-[(aminocarbonyl)amino]-5-{4-[2-(1-piperidinyl)ethoxy]phenyl}-2-thiophenecarboxamide; 3-[(aminocarbonyl)amino]-5-{4-[3-(dimethylamino)propoxy]phenyl}-2-thiophenecarboxamide; 3-[(aminocarbonyl)amino]-5-{3-[2-(dimethylamino)ethoxy]phenyl}-2-thiophenecarboxamide; 3-[(aminocarbonyl)amino]-5-{3-[2-(1-morpholinyl)ethoxy]phenyl}-2-thiophenecarboxamide; 3-[(aminocarbonyl)amino]-5-{3-[2-(1-pyrrolidinyl)ethoxy]phenyl}-2-thiophenecarboxamide; 3-[(aminocarbonyl)amino]-5-{3-[2-(1-piperidinyl)ethoxylphenyl}-2-thiophenecarboxamide; 3-[(aminocarbonyl)amino]-5-{3-[3-(dimethylamino)propoxy]phenyl}-2-thiophenecarboxamide; 3-[(aminocarbonyl)amino]-5-{2-[2-(1-morpholinyl)ethoxy]phenyl}-2-thiophenecarboxamide; 3-[(aminocarbonyl)amino]-5-{2-[2-(1-pyrrolidinyl)ethoxy]phenyl}-2-thiophenecarboxamide; 3-[(aminocarbonyl)amino]-5-{2-[2-(1-piperidinyl)ethoxy]phenyl}-2-thiophenecarboxamide; 3-[(aminocarbonyl)amino]-5-{2-[3-(dimethylamino)propoxylphenyl}-2-thiophenecarboxamide; 2-[(aminocarbonyl)amino]-4-methyl-5-(4-chlorophenyl)-3-thiophenecarboxamide: 2-[(aminocarbonyl)amino]-4-methyl-5-(4-methylphenyl)-3-thiophenecarboxamide; 2-[(aminocarbonyl)amino]-4-ethyl-5-phenyl-3-thiophenecarboxamide; 2-[(aminocarbonyl)amino]-4-methyl-5-(4-methoxyphenyl)-3-thiophenecarboxamide; 2-[(aminocarbonyl)amino]-4-methyl-5-(4-fluorophenyl)-3-thiophenecarboxamide; 2-[(aminocarbonyl)amino]-4-methyl-5-(3-fluorophenyl)-3-thiophenecarboxamide; 2-[(aminocarbonyl)amino]-4-methyl-5-(3-methoxyphenyl)-3-thiophenecarboxamide; 2-[(aminocarbonyl)amino]-4-methyl-5-(3-chloro-4-methoxyphenyl)-3-thiophenecarboxamide: 2-[(aminocarbonyl)amino]-4-methyl-5-(2-chlorophenyl)-3-thiophenecarboxamide; 2-[(aminocarbonyl)amino]-4-methyl-5-(3-trifluoromethylphenyl)-3-thiophenecarboxamide:

· Applicant : Andrew Baxter et al. Attorney's Docket No.: 06275-233001 / Z70663-1P US

Serial No.: 09/868,884

Filed : February 5, 2002

Page 6 of 17

2-[(aminocarbonyl)amino]-4-methyl-5-(3-methyl-4-methoxyphenyl)-3-thiophenecarboxamide;

2-[(aminocarbonyl)amino]-4-methyl-5-(3,5-dimethoxyphenyl)-3-thiophenecarboxamide;

2-[(aminocarbonyl)amino]-4-methyl-5-(2,3-dimethoxyphenyl)-3-thiophenecarboxamide;

2-[(aminocarbonyl)amino]-4-methyl-5-(4-isopropylphenyl)-3-thiophenecarboxamide;

2-[(aminocarbonyl)amino]-4-methyl-5-(3,4,5-trimethoxyphenyl)-3-thiophenecarboxamide;

2-[(aminocarbonyl)amino]-4-methyl-5-(3,4-dichlorophenyl)-3-thiophenecarboxamide;

2-[(aminocarbonyl)amino]-4-methyl-5-(4-cyanophenyl)-3-thiophenecarboxamide:

2-[(aminocarbonyl)amino]-4-methyl-5-(4-hydroxyphenyl)-3-thiophenecarboxamide;

2-[(aminocarbonyl)amino]-4-methyl-5-(4-[2-(1-piperidinyl)ethoxy]phenyl)-3-

thiophenecarboxamide:

2-[(aminocarbonyl)amino]-4-methyl-5-(4-[2-(diethylamino)ethoxy]phenyl)-3-

thiophenecarboxamide;

2-[(aminocarbonyl)amino]-4-trifluoromethyl-5-phenyl-3-thiophenecarboxamide;

2-[(aminocarbonyl)amino]-4-methyl-5-phenyl-3-thiophenecarboxamide;

2-[(aminocarbonyl)amino]-5-(4-cyanophenyl)-3-thiophenecarboxamide;

2-[(aminocarbonyl)amino]-5-(4-trifluoromethylphenyl)-3-thiophenecarboxamide:

2-[(aminocarbonyl)amino]-5-(2,4-difluorophenyl)-3-thiophenecarboxamide:

2-[(aminocarbonyl)amino]-5-(4-hydroxyphenyl)-3-thiophenecarboxamide;

2-[(aminocarbonyl)amino]-5-(4-chlorophenyl)-3-thiophenecarboxamide;

2-[(aminocarbonyl)amino]-5-(4-methanesulphonylphenyl)-3-thiophenecarboxamide;

2-[(aminocarbonyl)amino]-5-(4-[2-(1-piperidinyl)ethoxy]phenyl)-3-thiophenecarboxamide;

· Applicant: Andrew Baxter et al. Attorney's Docket No.: 06275-233001 / Z70663-1P US

Serial No.: 09/868,884
Filed: February 5, 2002

Page : 7 of 17

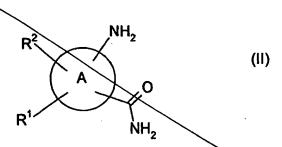
2-[(aminocarbonyl)amino]-5-(4-[2-(1-(2,2,6,6-tetramethyl)piperidinyl)ethoxy]phenyl)-3-thiophenecarboxamide;

- 2-[(aminocarbonyl)amino]-5-(4-(thiazol-4-yl-methoxy)phenyl)-3-thiophenecarboxamide:
- 2-[(aminocarbonyl)amino]-5-(4-[2-(dimethylamino)ethoxy]phenyl)-3-thiophenecarboxamide;
- 2-[(aminocarbonyl)amino]-5-(4-[2-(diethylamino)ethoxy]phenyl)-3-thiophenecarboxamide;
- 2-[(aminocarbonyl)amino]-5-(4-[2-(1-morpholinyl)ethoxy]phenyl)-3-thiophenecarboxamide;
- 2-[(aminothiocarbonyl)amino-5-phenyl-3-thiophenecarboxamide;

and pharmaceutically acceptable salts and solvates thereof.

(Currently amended) A process for the preparation of a <u>first</u> compound of formula (I), according to claim 1, which comprises:

(a) reaction of a compound of formula (II):



wherein A,  $R^1$  and  $R^2$  are as defined in Claim 1, with an isocyanate (X = O) or an isothiocyanate (X = S), to produce the first compound of formula (I); or

(b) reaction of compound of formula (III) with a compound of formula (IV)